#### PATENT COOPERATION TREATY

## **PCT**

# INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY (Chapter II of the Patent Cooperation Treaty)

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference P652			FOR FURTHER AC	TION	See Form PCT/IPEA/416			
International application No.			International filing date	c (day/month/year) Priority date (day/month/year)				
PCT/JP2004/012398			27.08.2004		28.08.2003			
				<u> </u>				
International Patent Classification (IPC) or national classification and IPC C07D403/04, A61K31/404, A61P1/00, 1/14, 3/00, 7/02, 9/00, 9/12,								
17/14	4, 25/08	, 25/14,	25/16, [Ref	er to the	Supplemental Box]			
Applicant TAISHO PHARMACEUTICAL CO., LTD.								
	<ol> <li>This report is the international preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 36.</li> </ol>							
2. T	This REPORT con	sists of a total of	4	sheets, including	ng this cover sheet.			
3. T	This report is also	accompanied by Al	NNEXES, comprising:					
а	a. sent to	the applicant and	to the International Bure	zu) a total of	sheets, as follows:			
	sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions).							
	sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Box No. I and the Supplemental Box.							
 	b. (sent to the International Bureau only) a total of (indicate type and number of electronic carrier(s))							
	·							
	related thereto, in computer readable form only, as indicated in the Supplemental Box Relating to Sequence Listing (see Section 802 of the Administrative Instructions).							
4. T	This report contain	ns indications relati	ng to the following items					
	Box No. I	Basis of the	report					
Box No. II Priority								
[	Box No. II	II Non-establi	shment of opinion with re	nment of opinion with regard to novelty, inventive step and industrial applicability				
L	Box No. IV	V Lack of unit	y of invention					
	Box No. V  Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement							
Box No. VI Certain documents cited		uments cited						
[	Box No. V	'II Certain defe	ects in the international ap	plication				
<u> </u>	Box No. VIII Certain observations on the international application							
Date of submission of the demand Date of completion of this report								
				-				
Name and mailing address of the IPEA/JP				uthorized officer				
Escalado No				elenbone No				

Translation

### INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.
PCT/JP2004/012398

Box	No. I	Basis of the report	
1.		regard to the language, this report is based on the internation ated under this item.	al application in the language in which it was filed, unless otherwise
		This report is based on translations from the original language which is the language of a translation furnished for the purpo	ge into the following language, oses of:
		international search (Rule 12.3 and 23.1(b))	
		publication of the international application (Rule 12.4)	
		international preliminary examination (Rule 55.2 and/o	or 55.3)
2.	recei	n regard to the elements of the international application, this is iving Office in response to an invitation under Article 14 are report):	report is based on (replacement sheets which have been furnished to the referred to in this report as "originally filed" and are not annexed to
	$\boxtimes$	the international application as originally filed/furnished	
		the description:	
		pages	as originally filed/furnished
		pages*	received by this Authority on
		pages*	received by this Authority on
		the claims:	
		nos.	as originally filed/furnished
		nos.*	as amended (together with any statement) under Article 19
		nos.*	received by this Authority on
		nos.*	received by this Authority on
	П	the drawings:	
		sheets	as originally filed/furnished
			received by this Authority on
		sheets*	
	П	a sequence listing and/or any related table(s) – see Supplem	
		•	201
3.	П	The amendments have resulted in the cancellation of:	
		the description, pages	
		the claims, nos.	
		the drawings, sheets/figs	
١,		any table(s) related to sequence listing (specify):	lments annexed to this report and listed below had not been made, since
4.	Ш	they have been considered to go beyond the disclosure as fi	led, as indicated in the Supplemental Box (Rule 70.2(c)).
		the description, pages	
		the claims, nos.	
		the drawings, sheets/figs	
		the sequence listing (specify):	
		any table(s) related to sequence listing (specify):	
*	If it	em 4 applies, some or all of those sheets may be marked "sup	erseded."

#### INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.
PCT/JP2004/012398

БОХ		citations and explanations supporting such statement			
1.	Statement				
	Novelty (N)	Claims	1-8	_ YES	
		Claims		_ NO	
	Inventive step (IS)	Claims	1-8	YES	
		Claims		NO	
	Industrial applicability (IA)	Claims	1-8	YES	
		Claims		NO	

December 1 and 1 and 1 and 1 and 25(2) with more december in continue of an animal set of a military life.

- 2. Citations and explanations (Rule 70.7)
  - Document 1: WO 01/98295 Al (Sanofi Synthelabo), 27

    December 2001
  - Document 2: JP 2003-523351 A (Sanofi Synthelabo), 05 August 2003
  - Document 3: JP 2003-525287 A (Sanofi Synthelabo), 26
    August 2003

The invention set forth in claims 1 to 8 is not disclosed in any of the documents that are cited in the international search report, and thus said invention is novel and involves an inventive step. In particular, documents 1 to 3, which can be considered to be the most closely related prior art documents, do not disclose the 1, 3-dihydro-2H-indole-2-one derivatives that are represented by formula (1) and formula (2), and likewise do not indicate that substituting a fluorine atom into position 4 of the pyrrolidine unit of the 1, 3-dihydro-2H-indole-2-one derivatives will improve the intracerebral migration characteristics of said derivatives while also increasing the concentration thereof in the blood plasma.

International application No.

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY	PCT/JP2004/012398									
Supplemental Box										
In case the space in any of the preceding boxes is not sufficient.  Continuation of:										
The cover sheet										
International Patent Classification (IPC)	Int. Cl. <sup>7</sup>									
25/22, 25/24, 25/28, 35/30, 29/00, 37/02,	43/00, C07M7/00									